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(21) International Application Number: PCT/BR97/00027 (22) International Filing Date: 10 July 1997 (10.07.97) (30) Priority Data: PI 9603084-4 12 July 1996 (12.07.96) BR (71) Applicant (for all designated States except US): INDÚSTRIA E COMÉRCIO DE COSMÉTICOS NATURA LTDA. [BR/BR]; Rodovia Regis Bittencourt, Km. 293, Itapecerica da Serra, CEP-06850-000 São Paulo, SP (BR). (72) Inventors; and (75) Inventors/Applicants (for US only): CHITARRA SOUZA, Simoni [BR/BR]; Apartamento 331, Rua Estela, 22, Vila Mariana, CEP-04011-000 São Paulo, SP (BR). MARTINS MATHEUS, Luiz, Gustavo [BR/BR]; Apartamento 83 B, Rua Visconde de Alcântara, 33, Vila Alpina, CEP-03205-060 São Paulo, SP (BR). (74) Agent: MOMSEN, LEONARDOS & CIA.; 10th floor, Rua Teófilo Otoni, 63, Centro, CEP-20090-080 Rio de Janeiro, RJ (BR).		(81) Designated States: CA, MX, US, European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>
(54) Title: DESICCANT COMPOSITION, IN EMULSION FORM, FOR THE SKIN (57) Abstract Desiccant composition, in emulsion form, for the skin, which comprises a new set of active agents with proven effectiveness to collaborate in the reconstitution of the skin affected by excessive skin secretions, turning it dryer until it acquires the characteristics of normal skin, associated to the reduction of local irritations and inflammations incidence, observed mainly in cases of acne. The referred active agents set is constituted by the association of two inorganic desiccant agents, kaolin and titanium dioxide, with several organic components, namely: a skin renovating agent, allantoin; a moisturizing agent, panthenol; a cicatrizant agent, calendula extract; an antiseptic agent, benzalconial chloride; an anti-inflammatory agent, bisabolol and, as capillary permeability regulation agent, rutin. These active components are emulsified, respectively dispersed, in an aqueous vehicle, with the help of specific agents, as usual emulgators and humectants, and can also contain other cooperative components, as preservatives, emollients, thickeners, pigments and, eventually, sequestering agents, forming the final emulsion exhibiting the desired characteristics relating to physicochemical stability, consistency, endurance of its specific actuation, color and pleasant skin sensorial.		

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"DESICCANT COMPOSITION, IN EMULSION FORM, FOR THE SKIN".

Description

5 The present invention refers to a desiccant composition for the skin, to collaborate in skin reconstitution in event of lesions, for example, produced by acne, reducing the local inflammatory process, regulating vascularization, fighting against harmful microorganisms and accelerating the cicatrization with no antiaesthetic marks.

10 This composition for skin treatment is presented in form of an oil-in-water emulsion, which acts as vehicle to the dissolution, respectively, dispersion of the composition's active principles, and also of complementary agents, which are adjuvants or additives, usually, of conventional use in these type of cosmetic compositions.

15 The active principles of the present composition are the ones which are going to actuate over the skin, respectively with desiccation, renovating, moisturizing, anti-inflammatory, and antiseptic functions, and as permeability regulating agent of the capillary system responsible for permeability regulation.

20 Thus, these agents and active principles comprise:

- (a) Desiccant agents, generally applied through the association of two mineral desiccants, chosen, by one side, among the absorbing clays group, specially kaolin, and, by the other side, an activated titanium dioxide (TiO_2) of fine granularity, which can be derived either from the rutile form or from the anatase form, which are crystallographic varieties of the TiO_2 . These desiccants act through adsorption and absorption, removing the oiliness excess of the skin's sebaceous glands secretions in the affected area and, consequently, accelerating skin reconstitution in this same area. The added ratio corresponds to 5,000 to 20,000% of kaolin and 1,000 to 15,000%, by weight, of TiO_2 .
- 25 (b) A renovating or restoring agent for the skin tissues, favoring cellular proliferation, which is allantoin, a glyoxylic acid diureide. This specific agent also calms down irritations and equalizes skin's roughness and is added in a 0,050 to 0,500%, by weight, ratio.
- 30 (c) An agent with simultaneous moisturizing and anti-inflammatory actions, which, by choice, is panthenol, or better, D-panthenol, which exerts a moisturizing action and stimulates epithelium restoration, acting as superficial skin cicatrizant. Its ratio is of 0,100 to 5,000, by weight, in relation to the global composition.
- 35 (d) A cooperative cicatrization agent, natural, namely a calendula extract, which, besides being cicatrizant, has an invigorating and cellular stimulation action, acting specifically as protective and restoring agent for the tissues. The added ratio corresponds to 0,100 to 5,000%, by weight, in relation to the composition.
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- 45

- (e) A specific antiseptic agent, which is benzalconial chloride, a well know quaternary ammonium compound, with local anti-microbial and antiseptic action and skin's surface preserver. Is added in a 0,050 to 0,300%, by weight, ratio.
- 5 (f) A cooperative anti-inflammatory agent, constituted of bisabolol, which has an anti-phlogistic action, reducing dermal tumefactions and irritations, and is added in a 0,500 to 5,000%, by weight, ratio.
- (g) A capillary permeability regulation agent, which is rutin, a vitamin P derivative. Rutin normalizes capillary permeability through
- 10 vasoconstrictive action, inhibits the abnormal liquid accumulation in the derma and protects against skin lesions, produced due to allergic reactions. Is added in a 0,001 to 0,050%, by weight, ratio.

The above mentioned active substances are formulated in form of an oil-in-water type formulation, which maintains the insoluble solids in

15 suspension, particularly the desiccant agents, usually with the help of usual additives, conventional in this type of cosmetic compositions. These additives comprise:

- (i) A vehicle, whose base is water, in which lipophile components of the composition itself will be emulsified, eventually with the addition of a
- 20 compatible oil, conventional in liquid cosmetic preparations. This vehicle constitutes composition's 30,00 to 60,00%, by weight, serving as dispersion and/or suspension medium for the other components.
- (ii) An usual preservative, chosen among the group comprising parabens, thiazolidines, imidazolidinyl urea, diazolidinyl urea, formaldehyde and
- 25 formaldehyde derivatives, benzoic acid and derivatives, specially the lower alkyl esters of para-oxybenzoic acids, quaternium 15, phenoxyethanol, 2-bromo-2-nitropropane, 1,3-diol, added in ratio varying between 0,10 and 1,00%, by weight, in relation to the global composition.
- (iii) An emollient, chosen among the group comprising the fatty esters, specially isopropyl isostearate, isobutyl palmitate, isocetyl stearate, octyl
- 30 palmitate, isopropyl laurate, cetyl lactate, isopropyl linoleate, the palmitic acid itself, oleic acid, octadecanol, behenyl alcohol, cetyl palmitate, octyl isostearate, isopropyl stearate, glyceryl stearates, ethylene glycol
- 35 stearate, alkyl benzoates and oxybenzoates, white pure mineral oil, lanolin alcohols and their derivatives, either esterified or etherified, waxes and refined vegetable oils, silicones and their derivatives, as well as a mixture of the above mentioned substances, in a 1,00 to 20,00%, by weight, ratio.
- 40

- (iv) An emulgator, with the function of helping the formation of an oil-in-water type emulsion, in which the solid insoluble components will remain dispersed, either as discrete particles or as droplets, in the event of hydrophobic substances, usually oily. The emulgators are added in a 0,10 to 5,00%, by weight, ratio, and are(is) chosen among the sorbitan, glycerol, waxes and phosphated fatty amines derivatives, acrylic polymers and their salts, polyoxiethylenes, polyethylene glycols and their derivatives, ethoxylated fatty alcohols, ethoxylated fatty acids, with or without neutralization with mineral or organic bases.
- (v) To control the consistency of the referred emulsion, with the objective of prevent it's free flowing, a thickener is added in a 0,10 to 15,00%, by weight, ratio. The thickeners are, by themselves, well known, and can be organic, as gums, usually vegetable, starches and modified starches, cellulose derivatives, as cellulose esters or ethers, hydrophilic fatty alcohols, polyethylene glycol stearates, alkyl aryl ammonium compounds, and hydrophilic, vinyl and acrylic polymers, or be mineral, as modified aluminium and magnesium silicates, esmectites, hydrated aluminum silicates, and mixtures of two or more of the above mentioned substances.
- (vi) The pigments used in the present invention are inorganic, as iron oxides, titanium dioxide, or compatible organic pigments, according to the state of technique, being added in a 0,10 to 5,00%, by weight, ratio. Their use aims to provide a pleasant color to the composition, without interfering in the desiccant agents action, which do also cooperate with the pigments to promote the final cosmetic color.
- (vii) In some cases, sequestering agents are added with the objective of substance fixation, which, in free state, could interfere in the active components and/or certain adjuvants actuation, or even in the components that can be found in the water used to prepare the formulation.
- The sequestering agents are added in a 0,05 to 0,50%, by weight, ratio in relation to the composition and, usually, comprise ethylene diamino tetraacetic acid (EDTA) and its salts, ethydrionic acid, deferrioxamine, lactoferrine.
- (i) The composition can also comprise humectant agents, added to diminish the interfacial tension of the solid or hydrophobic components in contact with water or other aqueous medium. These can be glycerol, glycol, sorbitol derivatives, hydrosoluble silicones and other humectants having surfactant properties, in a ratio varying between 5,00 and 20,00%, by weight, in relation to the global composition.

Manufacturing Process

5 The present desiccant emulsion, pigmented, is manufactured through usual cosmetic processing techniques. Some special care should be taken to obtain a good disposition of the components insoluble in water or in the aqueous medium.

10 So, the solid desiccant components and the pigments should be previously wetted and very well dispersed in an adequate liquid, compatible with the emulsion, prepared separately. Usually, the dispersion of these insoluble components is done in an adequate equipment, in other words, in a micronizer, colloid mills and others, already conventional.

15 The emulsion, constituted of an aqueous phase, dispersant, and an oily phase, dispersed, should be previously homogenized and heated at 80°C. After that, it is possible to perform the final emulsification, and stabilization, through the action of the emulsifying additive. Then, the dispersion containing the solid components and, eventually, the other thermostable components, are added. The product is then cooled down to 45°C, or room temperature, for the incorporation of the thermosensitive components, followed by final mechanical homogenization.

Examples

20 In the examples, all ratios are expressed as percentage by weight.

Example 1

25 In a typical example, the first step is the solids wetting, comprising 10,50% of kaolin, 7,50% of titanium dioxide and 0,5% of micronized basic iron oxide, in an adequate water quantity, containing 3,00% of usual emulsifying agent, as ethoxylated cetyl alcohol with 10-15 EO/mol. In the remaining quantity of aqueous vehicle, which totalizes 60,00%, the other components are dissolved/dispersed, namely, 0,28% of allantoin, 1,00% of panthenol, 30 1,00% of calendula extract, 0,10% of benzalconial chloride, 0,60% of bisabolol and 0,02% of rutin, as well as 0,30% of methyl/isopropyl paraben, as preservative, 3,20% of an emollient, isopropyl linoleate, and 12,00% of an humectant, hydrosoluble silicone.

35 In this formulation, the last mentioned components can only be added to a fraction of the aqueous vehicle, first adding the humectant and then the other complements through stirring and, at last, the remaining quantity of vehicle, performing, at last, the incorporation of the solids dispersion, previously prepared, through homogenization.

Example 2

40 The example 1 is repeated, but half of the quantity of humectant is added in the previous solids dispersion and the other half quantity of humectant is added in the remaining aqueous vehicle and complements.

Example 3

The example 1 is repeated, adding the complete quantity, 12,00%, of humectant agent in the previous solids dispersion and using the total quantity of emulsifying agent, 3,00%, to emulsify the non-solid portion of the complementary components in the remaining vehicle.

It was observed that the second and third formulation variants facilitate the mixing of the corresponding components of each batch.

Tests performed

The present composition, in several formulations within the above mentioned limits, were submitted to primary and acute or cumulative dermal irritability, sensitization, phototoxicity and photoallergy tests, according to usual procedures. All tested formulations complied with the minimal established values, and were approved as dermatologist tested and accepted.

According to the comedogenicity test, the formulations were defined as not comedogenic.

To demonstrate the cosmetic composition performance in its specific function of desiccation of the skin, subjected to affections as acne, a clinical test was performed with volunteers, with ages varying between 12 and 24 years. The results demonstrated a reduction of grades I and II acne affections, in approximately 65,0% of the group, which used the desiccant composition according to this invention, in relation to a similar control group, which did not use this composition.

CLAIMS

1. Desiccant composition, in emulsion form, for the skin, specially suitable for skins that, due to excessive cutaneous secretions, are subjected to skin irritation and inflammation processes, whose composition, beyond having preventive action, also cooperates in the affected skin reconstitution, characterized by the fact of comprising 6,761% to 50,850%, by weight, of a set of active components constituted of:
5,000 to 2,000%, by weight, of kaolin desiccant agent,
1,000 to 15,000%, by weight, of titanium dioxide desiccant agent,
0,050 to 0,500%, by weight, of skin renovating agent, which is allantoin,
0,100 to 5,000%, by weight, of moisturizing/anti-inflammatory agent, which is panthenol,
0,100 to 5,000%, by weight, of cicatrizant agent, calendula extract,
0,050% to 0,300%, by weight, of antiseptic agent, benzalconial chloride,
0,500 to 5,000%, by weight, of anti-inflammatory agent, bisabolol,
0,100 to 0,050%, by weight, of capillary system permeability regulation agent, which is rutin,
being the remaining to complete composition's 100,000%, by weight, constituted of an aqueous vehicle, emulsified, which contains one or more adjuvants chosen among preservative agents, emollients, emulgators, thickeners/neutralizers, pigments or colouring agents, sequestering agents and humectants.

2. Desiccant composition, in emulsion form, for the skin, according to claim 1, characterized by the fact of comprising, as preservative agent, 0,10 to 1,00%, by weight, of parabens, thiazolidines, imidazolidinyl urea, diazolidinyl urea, formaldehyde, benzoic and oxybenzoic acid and their lower alkyl derivatives, quaternium 15, phenoxyethanol, 2-bromo-2-nitropropane, 1,3 diol, or mixtures of them.

3. Desiccant composition, in emulsion form, for the skin, according to claim 1, characterized by the fact of comprising, as emollient agent, 1,00 to 20,00%, by weight, of at least one substance chosen among isopropyl isostearate, isobutyl palmitate, isocetyl stearate, isobutyl palmitate, isocetyl stearate, octyl palmitate, isopropyl laurate, cetyl lactate, isopropyl linoleate, palmitic acid, oleic acid, octadecanol, behenyl alcohol, cetyl palmitate, octyl isostearate, isopropyl stearate, glyceryl stearate, ethylene glycol stearate, alkyl benzoates and oxybenzoates, white pure mineral oil, lanolin alcohols and their derivatives, waxes and vegetable oils and hydrophilic silicones and derivatives.

4. Desiccant composition, in emulsion form, for the skin, according to claim 1, characterized by the fact of comprising, as emulsifying agent, 0,10 to 5,00%, by weight, of at least one substance chosen among sorbitan, glycerol, waxes, phosphated fatty amines derivatives, acrylic polymers, polyoxiethylenes, polyethylene glycol derivatives, ethoxylated fatty alcohols and acids, with or without neutralization.

5. Desiccant composition, in emulsion form, for the skin, according to claim 1, characterized by the fact of comprising, as thickener agent, 0,10 to 15,00%, by weight, of at least one substance chosen among vinyl polymers, specially poly(vinyl acetate) and/or polyvinyl alcohol, natural gums, starches and modified starches, modified aluminium and magnesium silicates, alkyl aryl ammonium compounds, esmectites, cellulose derivatives, specially their esters and ethers, fatty alcohols, polyethylene glycol stearates and hydrated aluminium silicates.
6. Desiccant composition, in emulsion form, for the skin, according to claim 1, characterized by the fact of comprising, as pigment, 0,10 to 5,00%, by weight, of inorganic pigments, based on iron oxides, and/or organic pigments and colouring agents.
7. Desiccant composition, in emulsion form, for the skin, according to claim 1, characterized by the fact of comprising, as humectant agent, at least one substance chosen among glycerol derivatives, glycerol itself, glycols, sorbitol, hydrosoluble silicones, in a ratio varying between 5,00 to 20,00%, by weight, in relation to the global composition.
8. Desiccant composition, in emulsion form, for the skin, according to claim 1, characterized by the fact of comprising, eventually, a sequestering agent, chosen among ethylene diamino tetraacetic acid (EDTA) and its salts, ethydrionic acid, deferrioxamine, lactoferrine, in a ratio varying between 0,05 to 0,50%, by weight, in relation to the global composition.

INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 A61K7/48

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 96 17588 A (LANCASTER GROUP) 13 June 1996 see claim 1	1-8
A	WO 93 08793 A (THE BOOTS COMPANY) 13 May 1993 see examples 1-5	1-8
A	DE 33 30 628 A (SCHÜLKE & MAYR) 7 March 1985 see examples 1-3	1-8
A	US 4 749 563 A (GEORGALAS) 7 June 1988 see example 5	1-8

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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Information on patent family members

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9617588 A	13-06-96	DE 4445064 A	13-06-96
		AU 4297496 A	26-06-96
		EP 0799021 A	08-10-97
		FI 972413 A	06-06-97
		NO 972572 A	05-06-97
		SK 55897 A	10-09-97
		ZA 9510391 A	11-06-96
WO 9308793 A	13-05-93	AU 2888292 A	07-06-93
DE 3330628 A	07-03-85	AU 3227484 A	28-02-85
US 4749563 A	07-06-88	AU 599194 B	12-07-90
		AU 1050188 A	30-06-88
		EP 0292554 A	30-11-88
		JP 1502116 T	27-07-89
		WO 8804167 A	16-06-88